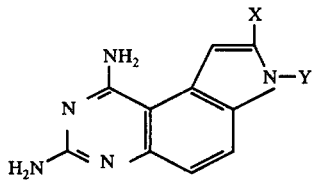
EXAMPLE 113

Single doses of 7-(phenylmethyl)-7H-pyrrolo[3,2-f]-quinazoline-1,3-diamine (active moiety) were intraperitoneally administered in 0.5% carboxymethylcellulose at a constant dose volume of 10 ml./kg., to groups of 10 mice/dose level. (Charles River COBS CD Strain Albino mice, male, weight 21.0–25.29.) Daily observations were made on all mice for the duration of experiment (14 days). The resulting LD_{50} was 54.5 mg./kg. (95% confidence limits of 48.6–93.4) all deaths occurred 4–5 days post-drug-administration.

The compound produced decreased spontaneous motor activity, bradypnea, ptosis, and stretching with indrawn sides in all mice within 1 hour after i.p. injection. In addition, rough coats and decreased fecal elimination were observed on day 2 post-drug-administration. These effects lasted up to 6 days in the low dose animals and 14 days in those animals having received the highest dose. All mice alive on day 14 were sacrificed and necropsied. Macroscopically, the tissues appeared normal.

What is claimed is:

1. A compound of the general formula:



or a non-toxic acid addition salt thereof, wherein:

(a) X is hydrogen and Y is $—CH_2R$ or $—R^1$ wherein:

R is hydrogen; methyl; ethyl; n-propyl; i-propyl; n-butyl; i-butyl; n-pentyl; n-hexyl; 2-methyl-1-propenyl; cyclobutyl; cyclopentyl; cyclohexyl; 2-phenylethyl; 2-phenylvinyl; phenyl; phenyl monosubstituted in the 2-, 3-, or 4-position by chlorine, bromine, iodine, fluorine, trifluoromethyl, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, t-butyl, methoxy, ethoxy, n-propoxy, trifluoromethoxy, cyano, methylsulfonyl, acetyl, propionyl, methylthio, ethylthio, carbethoxy, carboxyl, sodium carboxy, or potassium carboxy; phenyl monosubstituted in the 3-position by amino or nitro; phenyl disubstituted in the 2,3-, 2,4-, 2,5-, 2,6-, 3,4-, or 3,5-positions by methyl, ethyl, n-propyl, methoxy, ethoxy, n-propoxy, chlorine, bromine, iodine, or fluorine; phenyl trisubstituted in the 2,4,6- or 3,4,5-positions by methyl, ethyl, methoxy, or ethoxy; 2,3,5,6-tetramethylphenyl; 3,4-(methylene dioxy)-phenyl; 1-naphthalenyl; 2-naphthalenyl; 2-methyl-1-naphthalenyl; 1-bromo-2-naphthalenyl; 2-pyridinyl; 3-pyridinyl; 4-pyridinyl; 2-quinolinyl; 8-quinolinyl; 2-thienyl; 3-thienyl; 4-thiazolyl; 3,5-dimethyl-4-isoxazolyl; tetrahydro-2-furanyl; or benzo[b]thien-3-yl; and

R^1 is hydrogen; phenyl monosubstituted in the 2- or 4-position by amino, nitro, cyano, acetyl, propionyl, methylsulfonyl, trifluoromethyl, or carbethoxy; 2,4-dinitrophenyl; 2,4-diaminophenyl; 2-cyano-4-nitrophenyl; 2-cyano-4-aminophenyl; 3-methyl-4-nitrophenyl; 3-methyl-4-aminophenyl; 2-trifluoromethyl-4-nitrophenyl; 2-trifluoromethyl-4-aminophenyl; 2-thiazolyl; 2-pyridinyl; 5-nitro-2-pyridinyl; 2-pyrimidinyl; 2-pyrazinyl; 2-quinolinyl; 4-quinolinyl; 4-methyl-2-quinolinyl; 7-chloro-4-quinolinyl; 7-trifluoromethyl-4-quinolinyl; 2-methyl-4-quinolinyl; 3-methyl-2-quinoxalinyl; 2-phenyl-4-quinolinyl; or 2-benzothiazolyl; and

(b) X is methyl, phenyl, or chlorine; and Y is hydrogen, methyl, benzyl, 3-cyanobenzyl, 4-cyanobenzyl, or 2,5-dimethylbenzyl; provided that when X is phenyl, Y may only be hydrogen or methyl, and when X is chlorine, Y may only be benzyl.

2. A compound as defined in claim 1 wherein

X is hydrogen;

Y is $—CH_2—R$; and

R is hydrogen; methyl; ethyl; n-propyl; i-propyl; n-butyl; i-butyl; n-pentyl; n-hexyl; 2-methyl-1-propenyl; cyclobutyl; cyclopentyl; cyclohexyl; 2-phenylethyl; 2-phenylvinyl; phenyl; phenyl monosubstituted in the 2-, 3-, or 4-position by chlorine, bromine, iodine, fluorine, trifluoromethyl, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, t-butyl, methoxy, ethoxy, n-propoxy, trifluoromethoxy, cyano, methylsulfonyl, acetyl, propionyl, methylthio, ethylthio, carbethoxy, carboxyl, sodium carboxy, or potassium carboxy; phenyl monosubstituted in the 3-position by amino or nitro; phenyl disubstituted in the 2,3-, 2,4-, 2,5-, 2,6-, 3,4-, or 3,5-positions by methyl, ethyl, n-propyl, methoxy, ethoxy, n-propoxy, chlorine, bromine, iodine, or fluorine; phenyl trisubstituted in the 2,4,6- or 3,4,5-positions by methyl, ethyl, methoxy, or ethoxy; 2,3,5,6-tetramethylphenyl; 3,4-(methylene dioxy)-phenyl; 1-naphthalenyl; 2-naphthalenyl; 2-methyl-1-naphthalenyl; 1-bromo-2-naphthalenyl; 2-pyridinyl; 3-pyridinyl; 4-pyridinyl; 2-quinolinyl; 8-quinolinyl; 2-thienyl; 3-thienyl; 4-thiazolyl; 3,5-dimethyl-4-isoxazolyl; tetrahydro-2-furanyl; or benzo[b]thien-3-yl.

3. The compound as defined in claim 2 wherein R is phenyl.

4. The compound as defined in claim 2 wherein R is 2-fluorophenyl.

5. The compound as defined in claim 2 wherein R is 3-fluorophenyl.

6. The compound as defined in claim 2 wherein R is 4-fluorophenyl.

7. The compound as defined in claim 2 wherein R is 2-chlorophenyl.

8. The compound as defined in claim 2 wherein R is 3-chlorophenyl.

9. The compound as defined in claim 2 wherein R is 4-chlorophenyl.

10. The compound as defined in claim 2 wherein R is 2,6-dichlorophenyl.

11. The compound as defined in claim 2 wherein R is 3,4-dichlorophenyl.

12. The compound as defined in claim 2 wherein R is 2-trifluoromethylphenyl.

13. The compound as defined in claim 2 wherein R is 3-trifluoromethylphenyl.

14. The compound as defined in claim 2 wherein R is 4-trifluoromethylphenyl.

15. The compound as defined in claim 2 wherein R is 2-cyanophenyl.

16. The compound as defined in claim 2 wherein R is 3-cyanophenyl.

17. The compound as defined in claim 2 wherein R is 4-cyanophenyl.